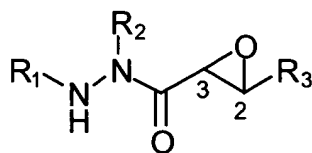


## AMENDMENTS TO THE CLAIMS

Please amend claims 10, 14, 28, 29, 30, 34, and 38 as follows. A detailed listing of all claims that are, or were, in the application is presented below. Changes in the currently amended claims are shown by strikethrough for deleted matter and underlining for added matter.

### Claims:

1. (Original) A compound of the formula:



wherein,

R<sub>1</sub> is selected from the group consisting of M<sub>1</sub>, M<sub>2</sub>-AA<sub>1</sub>, M<sub>2</sub>-AA<sub>2</sub>-AA<sub>1</sub>, and M<sub>2</sub>-AA<sub>3</sub>-AA<sub>2</sub>-AA<sub>1</sub>;

M<sub>1</sub> is selected from the group consisting of NH<sub>2</sub>-CO-, NH<sub>2</sub>-CS-, NH<sub>2</sub>-SO<sub>2</sub>-, X-NH-CO-, X<sub>2</sub>N-CO-, X-NH-CS-, X<sub>2</sub>N-CS-, X-NH-SO<sub>2</sub>-, X<sub>2</sub>N-SO<sub>2</sub>-, X-CO-, X-CS-, Y-SO<sub>2</sub>-, Y-O-CO-, Y-O-CS-, phenyl substituted with K, phenyl disubstituted with K, and morpholine-CO-;

M<sub>2</sub> is selected from the group consisting of H, NH<sub>2</sub>-CO-, NH<sub>2</sub>-CS-, NH<sub>2</sub>-SO<sub>2</sub>-, X-NH-CO-, X<sub>2</sub>N-CO-, X-NH-CS-, X<sub>2</sub>N-CS-, X-NH-SO<sub>2</sub>-, X<sub>2</sub>N-SO<sub>2</sub>-, X-CO-, X-CS-, Y-SO<sub>2</sub>-, Y-O-CO-, Y-O-CS-, phenyl, phenyl substituted with K, phenyl disubstituted with K, and morpholine-CO-;

X is selected from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>3-15</sub> cyclized alkyl, C<sub>1-10</sub> fluoroalkyl, C<sub>1-10</sub> alkyl substituted with J, C<sub>1-10</sub> fluoroalkyl substituted with J, 1-admantyl, 9-fluorenyl, phenyl, phenyl substituted with K, phenyl disubstituted with K, phenyl trisubstituted with K, naphthyl, naphthyl substituted with K, naphthyl disubstituted with K, naphthyl

trisubstituted with K, C<sub>1-10</sub> fluoroalkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with two attached phenyl groups, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with two attached phenyl groups substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenoxy group, biotinyl, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on the phenoxy group;

Y is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>3-15</sub> cyclized alkyl, C<sub>1-10</sub> fluoroalkyl, C<sub>1-10</sub> alkyl substituted with J, C<sub>1-10</sub> fluoroalkyl substituted with J, 1-admantyl, 9-fluorenyl, phenyl, phenyl substituted with K, phenyl disubstituted with K, phenyl trisubstituted with K, naphthyl, naphthyl substituted with K, naphthyl disubstituted with K, naphthyl trisubstituted with K, C<sub>1-10</sub> fluoroalkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with two attached phenyl groups, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with two attached phenyl groups substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenoxy group, biotinyl, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on the phenoxy group;

J is selected from the group consisting of halogen, CO<sub>2</sub>H, OH, CN, NO<sub>2</sub>, NH<sub>2</sub>, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkylamino, C<sub>2-12</sub> dialkylamino, C<sub>1-10</sub> alkyl-O-CO-, C<sub>1-10</sub> alkyl-O-CO-NH-, and C<sub>1-10</sub> alkyl-S-;

K is selected from the group consisting of halogen, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> perfluoroalkyl, C<sub>1-10</sub> alkoxy, phenoxy, NO<sub>2</sub>, CN, OH, CO<sub>2</sub>H, amino, C<sub>1-10</sub> alkylamino, C<sub>2-12</sub> dialkylamino, C<sub>1-10</sub> acyl, and C<sub>1-10</sub> alkoxy-CO-, and C<sub>1-10</sub> alkyl-S-;

AA<sub>1</sub>, AA<sub>2</sub>, and AA<sub>3</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, beta-alanine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, citrulline, hydroxyproline, ornithine, homoarginine, sarcosine, indoline 2-carboxylic acid, 2-azetidinecarboxylic acid, pipecolic acid (2-piperidine carboxylic acid), O-methylserine, O-ethylserine, S-methylcysteine, S-ethylcysteine, S-benzylcysteine, NH<sub>2</sub>-CH(CH<sub>2</sub>CH<sub>2</sub>Et)-CO<sub>2</sub>H, alpha-aminoheptanoic acid, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclohexyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopentyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclobutyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopropyl)-CO<sub>2</sub>H, trifluoroleucine, 4-fluorophenylalanine, lysine substituted on the epsilon nitrogen with a biotinyl group, and hexafluoroleucine;

R<sub>2</sub> is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted with Q, C<sub>1-10</sub> alkyl substituted with phenyl, C<sub>1-10</sub> alkyl with an attached phenyl substituted with K, C<sub>1-10</sub> alkyl substituted with naphthyl, C<sub>1-10</sub> alkyl with an attached naphthyl substituted with K, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C<sub>1-10</sub> alkyl substituted

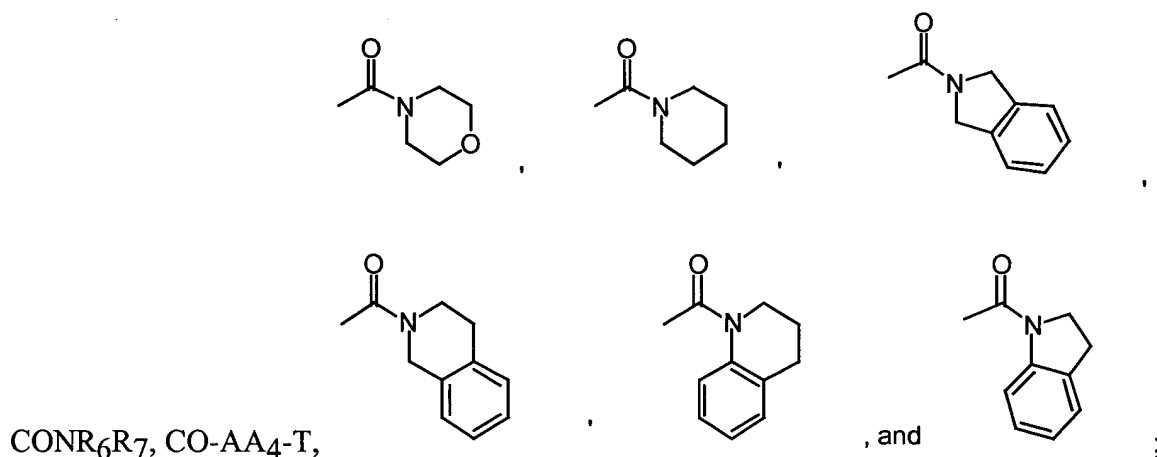
with CONH<sub>2</sub>, C<sub>1-10</sub> alkyl substituted with CONHR<sub>4</sub>, C<sub>1-10</sub> alkyl substituted with CO<sub>2</sub>H, C<sub>1-10</sub> alkyl substituted with CO<sub>2</sub>R<sub>4</sub>, CH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub>, CH<sub>2</sub>-3-indolyl, CH<sub>2</sub>-2-thienyl, CH<sub>2</sub>-2-furyl, CH<sub>2</sub>-3-furyl, CH<sub>2</sub>-2-imidazolyl, C<sub>1-10</sub> alkyl substituted with G, C<sub>1-10</sub> alkyl with an attached phenyl substituted with G, C<sub>1-10</sub> alkyl with an attached naphthyl substituted with G, phenyl substituted with G, and naphthyl substituted with G;

R<sub>4</sub> is selected from the group consisting of C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkyl substituted with phenyl;

Q is selected independently from the group consisting of C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl-S-, C<sub>1-10</sub> alkoxy substituted with phenyl, and C<sub>1-10</sub> alkyl-S- substituted with phenyl;

G is selected independently from the group consisting of amidino (-C(=NH)NH<sub>2</sub>), guanidino (-NHC(=NH)NH<sub>2</sub>), isothiureido (-S-C(=NH)NH<sub>2</sub>), amino, C<sub>1-6</sub> alkylamino, C<sub>2-12</sub> dialkylamino, and imidazolyl;

R<sub>3</sub> is selected independently from the group consisting of R<sub>5</sub>, CO<sub>2</sub>H, CO<sub>2</sub>R<sub>5</sub>, CONHR<sub>6</sub>,



R<sub>5</sub> is selected independently from the group consisting of C<sub>1-10</sub> alkyl, C<sub>3-15</sub> cyclized alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>3-15</sub> cyclized alkyl with an

attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group disubstituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group trisubstituted with K, C<sub>3-15</sub> cyclized alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with a naphthyl group attached to the C<sub>1-10</sub> alkyl, C<sub>3-15</sub> cyclized alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group disubstituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group trisubstituted with K, and C<sub>3-15</sub> cyclized alkyl with an attached naphthyl group substituted with K;

T is selected independently from the group consisting of OH, OR<sub>8</sub>, NHR<sub>9</sub>, and NR<sub>8</sub>R<sub>9</sub>;

AA<sub>4</sub> is a side chain blocked or unblocked amino acid with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, beta-alanine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, citrulline, hydroxyproline, ornithine, homoarginine, sarcosine, indoline 2-carboxylic acid, 2-azetidinecarboxylic acid, pipercolinic acid (2-piperidine carboxylic acid), O-methylserine, O-ethylserine, S-methylcysteine, S-ethylcysteine, S-benzylcysteine, NH<sub>2</sub>-CH(CH<sub>2</sub>CHEt<sub>2</sub>)-CO<sub>2</sub>H, alpha-aminoheptanoic acid, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclohexyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopentyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclobutyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopropyl)-

CO<sub>2</sub>H, trifluoroleucine, 4-fluorophenylalanine, lysine substituted on the epsilon nitrogen with a biotinyl group, and hexafluoroleucine;

R<sub>6</sub> and R<sub>7</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>3-20</sub> cyclized alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group, phenyl, phenyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group disubstituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group trisubstituted with K, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and substituted with K on the phenyl group, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and disubstituted with K on the phenyl groups, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with a morpholine [-N(CH<sub>2</sub>CH<sub>2</sub>)O] ring attached through nitrogen to the alkyl, C<sub>1-10</sub> alkyl with a piperidine ring attached through nitrogen to the alkyl, C<sub>1-10</sub> alkyl with a pyrrolidine ring attached through nitrogen to the alkyl, C<sub>1-20</sub> alkyl with an OH group attached to the alkyl, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, C<sub>1-10</sub> alkyl with an attached 4-pyridyl group, C<sub>1-10</sub> alkyl with an attached 3-pyridyl group, C<sub>1-10</sub> alkyl with an attached 2-pyridyl group, C<sub>1-10</sub> alkyl with an attached cyclohexyl group, -NH-CH<sub>2</sub>CH<sub>2</sub>-(4-hydroxyphenyl), -NH-CH<sub>2</sub>CH<sub>2</sub>-(3-indolyl), C<sub>1-10</sub> alkyl with an attached 2-furyl group, C<sub>1-10</sub> alkyl with an attached 3-furyl group, and C<sub>1-5</sub> alkyl with an attached phenyl and a hydroxyl attached to the C<sub>1-5</sub> alkyl;

R<sub>8</sub> and R<sub>9</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, phenyl, nitrophenyl, and C<sub>1-10</sub> alkyl substituted with phenyl;

or a pharmaceutically acceptable salt, pharmaceutically derivative, hydrate or solvate thereof.

2. (Original) A compound according to claim 1 wherein:

R<sub>1</sub> is selected from the group consisting of M<sub>2</sub>-AA<sub>1</sub>, M<sub>2</sub>-AA<sub>2</sub>-AA<sub>1</sub>, and M<sub>2</sub>-AA<sub>3</sub>-AA<sub>2</sub>-AA<sub>1</sub>;

M<sub>2</sub> is selected from the group consisting of H, X-CO-, X-NH-CO-, Y-SO<sub>2</sub>-, and Y-O-CO-;

X is selected from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenoxy group, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on the phenoxy group;

Y is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenoxy group, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on the phenoxy group;

J is selected from the group consisting of CO<sub>2</sub>H, OH, NH<sub>2</sub>, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkylamino, and C<sub>1-10</sub> alkyl-O-CO-;

K is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> perfluoroalkyl, C<sub>1-10</sub> alkoxy, NO<sub>2</sub>, CN, OH, CO<sub>2</sub>H, amino, C<sub>1-10</sub> alkylamino;

AA<sub>1</sub>, AA<sub>2</sub>, and AA<sub>3</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, alpha-aminoheptanoic acid, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclohexyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopentyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclobutyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopropyl)-CO<sub>2</sub>H;

R<sub>2</sub> is selected from the group consisting of C<sub>1-10</sub> alkyl substituted with CONH<sub>2</sub>, C<sub>1-10</sub> alkyl substituted with CO<sub>2</sub>H, and C<sub>1-10</sub> alkyl substituted with CO<sub>2</sub>R<sub>4</sub>;

R<sub>4</sub> is selected from the group consisting of C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkyl substituted with phenyl;

R<sub>3</sub> is selected independently from the group consisting of R<sub>5</sub>, CO<sub>2</sub>H, CO<sub>2</sub>R<sub>5</sub>, CONHR<sub>6</sub>, CONR<sub>6</sub>R<sub>7</sub>, and CO-AA<sub>4</sub>-T;

R<sub>5</sub> is selected independently from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with a naphthyl group attached to the C<sub>1-10</sub> alkyl, and C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K.

AA<sub>4</sub> is a side chain blocked or unblocked amino acid with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, alpha-aminoheptanoic acid, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclohexyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopentyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclobutyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopropyl)-CO<sub>2</sub>H;

R<sub>6</sub> and R<sub>7</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>3-20</sub> cyclized alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group, phenyl, phenyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group disubstituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group trisubstituted with K, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and substituted with K on the phenyl group, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and disubstituted with K on the phenyl groups, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group substituted with K, C<sub>1-20</sub> alkyl with an OH group attached to the alkyl, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, C<sub>1-10</sub> alkyl with an attached 4-pyridyl group, C<sub>1-10</sub> alkyl with an attached 3-pyridyl group, C<sub>1-10</sub> alkyl with an attached 2-pyridyl group, C<sub>1-10</sub> alkyl with an attached cyclohexyl group, -NH-

CH<sub>2</sub>CH<sub>2</sub>-(4-hydroxyphenyl), -NH-CH<sub>2</sub>CH<sub>2</sub>-(3-indolyl), C<sub>1-10</sub> alkyl with an attached 2-furyl group, C<sub>1-10</sub> alkyl with an attached 3-furyl group, and C<sub>1-5</sub> alkyl with an attached phenyl and a hydroxyl attached to the C<sub>1-5</sub> alkyl;

R<sub>8</sub> and R<sub>9</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, phenyl, nitrophenyl, and C<sub>1-10</sub> alkyl substituted with phenyl.

3. (Original) A compound according to claim 2 wherein

X is selected from the group consisting of H, C<sub>1-10</sub> alkyl, phenyl, naphthyl, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group, and C<sub>1-10</sub> alkyl substituted with CO<sub>2</sub>H;

AA<sub>1</sub>, AA<sub>2</sub>, and AA<sub>3</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H;

R<sub>5</sub> is selected independently from the group consisting of C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl;

AA<sub>4</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan,

glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, citrulline, homoarginine, sarcosine,  $\text{NH}_2\text{-CH}(\text{CH}_2\text{-1-naphthyl})\text{-CO}_2\text{H}$ , and  $\text{NH}_2\text{-CH}(\text{CH}_2\text{-2-naphthyl})\text{-CO}_2\text{H}$ ;

$\text{R}_6$  and  $\text{R}_7$  are selected independently from the group consisting of H,  $\text{C}_{1-10}$  alkyl,  $\text{C}_{1-10}$  alkyl with a phenyl group attached to the  $\text{C}_{1-10}$  alkyl,  $\text{C}_{1-10}$  alkyl with two phenyl groups attached to the  $\text{C}_{1-10}$  alkyl, phenyl substituted with K,  $\text{C}_{1-10}$  alkyl with an attached phenyl group substituted with K,  $\text{C}_{1-10}$  alkyl with an attached phenyl group disubstituted with K,  $\text{C}_{1-10}$  alkyl with two phenyl groups attached to the  $\text{C}_{1-10}$  alkyl,  $\text{C}_{1-10}$  alkyl with two phenyl groups attached to the  $\text{C}_{1-10}$  alkyl and substituted with K on the phenyl group,  $\text{C}_{1-10}$  alkyl with two phenyl groups attached to the  $\text{C}_{1-10}$  alkyl and disubstituted with K on the phenyl groups,  $\text{C}_{3-20}$  cyclized alkyl with an attached phenyl group substituted with K,  $\text{C}_{1-20}$  alkyl with an OH group attached to the alkyl,  $-\text{CH}_2\text{CH}_2\text{CH}_2\text{OCH}_3$ , and  $\text{C}_{1-5}$  alkyl with an attached phenyl and a hydroxyl attached to the  $\text{C}_{1-5}$  alkyl.

4. (Original) A compound according to claim 1 wherein  
wherein,

$\text{R}_1$  is selected from the group consisting of  $\text{M}_2\text{-AA}_1$ ,  $\text{M}_2\text{-AA}_2\text{-AA}_1$ , and  $\text{M}_2\text{-AA}_3\text{-AA}_2\text{-AA}_1$ ;

$\text{M}_2$  is selected from the group consisting of H, X-CO-, X-NH-CO-, Y-SO<sub>2</sub>-, and Y-O-CO-;

X is selected from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenoxy group, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on the phenoxy group;

Y is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenoxy group, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on the phenoxy group;

J is selected from the group consisting of CO<sub>2</sub>H, OH, NH<sub>2</sub>, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkylamino, and C<sub>1-10</sub> alkyl-O-CO-;

K is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> perfluoroalkyl, C<sub>1-10</sub> alkoxy, NO<sub>2</sub>, CN, OH, CO<sub>2</sub>H, amino, C<sub>1-10</sub> alkylamino;

AA<sub>1</sub>, AA<sub>2</sub>, and AA<sub>3</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-

aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, alpha-aminoheptanoic acid,  $\text{NH}_2\text{-CH}(\text{CH}_2\text{-1-naphthyl})\text{-CO}_2\text{H}$ ,  $\text{NH}_2\text{-CH}(\text{CH}_2\text{-2-naphthyl})\text{-CO}_2\text{H}$ ,  $\text{NH}_2\text{-CH}(\text{CH}_2\text{-cyclohexyl})\text{-CO}_2\text{H}$ ,  $\text{NH}_2\text{-CH}(\text{CH}_2\text{-cyclopentyl})\text{-CO}_2\text{H}$ ,  $\text{NH}_2\text{-CH}(\text{CH}_2\text{-cyclobutyl})\text{-CO}_2\text{H}$ , and  $\text{NH}_2\text{-CH}(\text{CH}_2\text{-cyclopropyl})\text{-CO}_2\text{H}$ ;

$\text{R}_2$  is selected from the group consisting of  $\text{C}_{1-10}$  alkyl,  $\text{C}_{1-10}$  alkyl substituted with Q,  $\text{C}_{1-10}$  alkyl substituted with phenyl,  $\text{C}_{1-10}$  alkyl with an attached phenyl substituted with K,  $\text{C}_{1-10}$  alkyl substituted with naphthyl,  $\text{C}_{1-10}$  alkyl with an attached naphthyl substituted with K, and phenyl;

$\text{R}_3$  is selected independently from the group consisting of  $\text{R}_5$ ,  $\text{CO}_2\text{H}$ ,  $\text{CO}_2\text{R}_5$ ,  $\text{CONHR}_6$ ,  $\text{CONR}_6\text{R}_7$ , and  $\text{CO-AA}_4\text{-T}$ ;

$\text{R}_5$  is selected independently from the group consisting of  $\text{C}_{1-10}$  alkyl,  $\text{C}_{1-10}$  alkyl with a phenyl group attached to the  $\text{C}_{1-10}$  alkyl,  $\text{C}_{1-10}$  alkyl with an attached phenyl group substituted with K,  $\text{C}_{1-10}$  alkyl with a naphthyl group attached to the  $\text{C}_{1-10}$  alkyl, and  $\text{C}_{1-10}$  alkyl with an attached naphthyl group substituted with K.

$\text{AA}_4$  is a side chain blocked or unblocked amino acid with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, alpha-aminoheptanoic acid,  $\text{NH}_2\text{-}$

CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclohexyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopentyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclobutyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopropyl)-CO<sub>2</sub>H;

R<sub>6</sub> and R<sub>7</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>3-20</sub> cyclized alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group, phenyl, phenyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group disubstituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group trisubstituted with K, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and substituted with K on the phenyl group, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and disubstituted with K on the phenyl groups, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group substituted with K, C<sub>1-20</sub> alkyl with an OH group attached to the alkyl, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, C<sub>1-10</sub> alkyl with an attached 4-pyridyl group, C<sub>1-10</sub> alkyl with an attached 3-pyridyl group, C<sub>1-10</sub> alkyl with an attached 2-pyridyl group, C<sub>1-10</sub> alkyl with an attached cyclohexyl group, -NH-CH<sub>2</sub>CH<sub>2</sub>-(4-hydroxyphenyl), -NH-CH<sub>2</sub>CH<sub>2</sub>-(3-indolyl), C<sub>1-10</sub> alkyl with an attached 2-furyl group, C<sub>1-10</sub> alkyl with an attached 3-furyl group, and C<sub>1-5</sub> alkyl with an attached phenyl and a hydroxyl attached to the C<sub>1-5</sub> alkyl;

R<sub>8</sub> and R<sub>9</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, phenyl, nitrophenyl, and C<sub>1-10</sub> alkyl substituted with phenyl.

5. (Original) A compound according to claim 4 wherein

X is selected from the group consisting of H, C<sub>1-10</sub> alkyl, phenyl, naphthyl, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group, and C<sub>1-10</sub> alkyl substituted with CO<sub>2</sub>H;

AA<sub>1</sub>, AA<sub>2</sub>, and AA<sub>3</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H;

R<sub>5</sub> is selected independently from the group consisting of C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl;

AA<sub>4</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H;

R<sub>6</sub> and R<sub>7</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups

attached to the C<sub>1-10</sub> alkyl, phenyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group disubstituted with K, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and substituted with K on the phenyl group, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and disubstituted with K on the phenyl groups, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group substituted with K, C<sub>1-20</sub> alkyl with an OH group attached to the alkyl, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, and C<sub>1-5</sub> alkyl with an attached phenyl and a hydroxyl attached to the C<sub>1-5</sub> alkyl.

6. (Original)            A compound according to claim 1 wherein

R<sub>1</sub> is selected from the group consisting of M<sub>2</sub>-AA<sub>1</sub>, M<sub>2</sub>-AA<sub>2</sub>-AA<sub>1</sub>, and M<sub>2</sub>-AA<sub>3</sub>-AA<sub>2</sub>-AA<sub>1</sub>;

M<sub>2</sub> is selected from the group consisting of H, X-CO-, X-NH-CO-, Y-SO<sub>2</sub>-, and Y-O-CO-;

X is selected from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenoxy group, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on the phenoxy group;

Y is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C<sub>1-10</sub> alkyl with an

attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenoxy group, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on the phenoxy group;

J is selected from the group consisting of CO<sub>2</sub>H, OH, NH<sub>2</sub>, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkylamino, and C<sub>1-10</sub> alkyl-O-CO-;

K is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> perfluoroalkyl, C<sub>1-10</sub> alkoxy, NO<sub>2</sub>, CN, OH, CO<sub>2</sub>H, amino, C<sub>1-10</sub> alkylamino;

AA<sub>1</sub>, AA<sub>2</sub>, and AA<sub>3</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, alpha-aminoheptanoic acid, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclohexyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopentyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclobutyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopropyl)-CO<sub>2</sub>H;

R<sub>2</sub> is selected from the group consisting of C<sub>1-10</sub> alkyl substituted with G, C<sub>1-10</sub> alkyl with an attached phenyl substituted with G, C<sub>1-10</sub> alkyl with an attached naphthyl substituted with G, phenyl substituted with G, and naphthyl substituted with G.

G is selected independently from the group consisting of amidino ( $-\text{C}(=\text{NH})\text{NH}_2$ ), guanidino ( $-\text{NHC}(=\text{NH})\text{NH}_2$ ), isothiureido ( $-\text{S}-\text{C}(=\text{NH})\text{NH}_2$ ), amino, and  $\text{C}_{1-6}$  alkylamino;

$\text{R}_3$  is selected independently from the group consisting of  $\text{R}_5$ ,  $\text{CO}_2\text{H}$ ,  $\text{CO}_2\text{R}_5$ ,  $\text{CONHR}_6$ , and  $\text{CONR}_6\text{R}_7$ ;

$\text{R}_5$  is selected independently from the group consisting of  $\text{C}_{1-10}$  alkyl,  $\text{C}_{1-10}$  alkyl with a phenyl group attached to the  $\text{C}_{1-10}$  alkyl,  $\text{C}_{1-10}$  alkyl with an attached phenyl group substituted with K,  $\text{C}_{1-10}$  alkyl with a naphthyl group attached to the  $\text{C}_{1-10}$  alkyl, and  $\text{C}_{1-10}$  alkyl with an attached naphthyl group substituted with K.

$\text{R}_6$  and  $\text{R}_7$  are selected independently from the group consisting of H,  $\text{C}_{1-10}$  alkyl,  $\text{C}_{3-20}$  cyclized alkyl,  $\text{C}_{1-10}$  alkyl with a phenyl group attached to the  $\text{C}_{1-10}$  alkyl,  $\text{C}_{1-10}$  alkyl with two phenyl groups attached to the  $\text{C}_{1-10}$  alkyl,  $\text{C}_{3-20}$  cyclized alkyl with an attached phenyl group, phenyl, phenyl substituted with K,  $\text{C}_{1-10}$  alkyl with an attached phenyl group substituted with K,  $\text{C}_{1-10}$  alkyl with an attached phenyl group disubstituted with K,  $\text{C}_{1-10}$  alkyl with an attached phenyl group trisubstituted with K,  $\text{C}_{1-10}$  alkyl with two phenyl groups attached to the  $\text{C}_{1-10}$  alkyl,  $\text{C}_{1-10}$  alkyl with two phenyl groups attached to the  $\text{C}_{1-10}$  alkyl and substituted with K on the phenyl group,  $\text{C}_{1-10}$  alkyl with two phenyl groups attached to the  $\text{C}_{1-10}$  alkyl and disubstituted with K on the phenyl groups,  $\text{C}_{3-20}$  cyclized alkyl with an attached phenyl group substituted with K,  $\text{C}_{1-20}$  alkyl with an OH group attached to the alkyl,  $-\text{CH}_2\text{CH}_2\text{CH}_2\text{OCH}_3$ ,  $\text{C}_{1-10}$  alkyl with an attached 4-pyridyl group,  $\text{C}_{1-10}$  alkyl with an attached 3-pyridyl group,  $\text{C}_{1-10}$  alkyl with an attached 2-pyridyl group,  $\text{C}_{1-10}$  alkyl with an attached cyclohexyl group,  $-\text{NH}-\text{CH}_2\text{CH}_2-(4\text{-hydroxyphenyl})$ ,  $-\text{NH}-\text{CH}_2\text{CH}_2-(3\text{-indolyl})$ ,  $\text{C}_{1-10}$  alkyl with an attached 2-furyl

group, C<sub>1-10</sub> alkyl with an attached 3-furyl group, and C<sub>1-5</sub> alkyl with an attached phenyl and a hydroxyl attached to the C<sub>1-5</sub> alkyl;

R<sub>8</sub> and R<sub>9</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, phenyl, nitrophenyl, and C<sub>1-10</sub> alkyl substituted with phenyl.

7. (Original) A compound according to claim 5 wherein

X is selected from the group consisting of H, C<sub>1-10</sub> alkyl, phenyl, naphthyl, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group, and C<sub>1-10</sub> alkyl substituted with CO<sub>2</sub>H;

AA<sub>1</sub>, AA<sub>2</sub>, and AA<sub>3</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H;

R<sub>5</sub> is selected independently from the group consisting of C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl;

AA<sub>4</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid,

lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine,  $\text{NH}_2\text{-CH}(\text{CH}_2\text{-1-naphthyl})\text{-CO}_2\text{H}$ , and  $\text{NH}_2\text{-CH}(\text{CH}_2\text{-2-naphthyl})\text{-CO}_2\text{H}$ ;

$\text{R}_6$  and  $\text{R}_7$  are selected independently from the group consisting of H,  $\text{C}_{1-10}$  alkyl,  $\text{C}_{1-10}$  alkyl with a phenyl group attached to the  $\text{C}_{1-10}$  alkyl,  $\text{C}_{1-10}$  alkyl with two phenyl groups attached to the  $\text{C}_{1-10}$  alkyl, phenyl substituted with K,  $\text{C}_{1-10}$  alkyl with an attached phenyl group substituted with K,  $\text{C}_{1-10}$  alkyl with an attached phenyl group disubstituted with K,  $\text{C}_{1-10}$  alkyl with two phenyl groups attached to the  $\text{C}_{1-10}$  alkyl,  $\text{C}_{1-10}$  alkyl with two phenyl groups attached to the  $\text{C}_{1-10}$  alkyl and substituted with K on the phenyl group,  $\text{C}_{1-10}$  alkyl with two phenyl groups attached to the  $\text{C}_{1-10}$  alkyl and disubstituted with K on the phenyl groups,  $\text{C}_{3-20}$  cyclized alkyl with an attached phenyl group substituted with K,  $\text{C}_{1-20}$  alkyl with an OH group attached to the alkyl,  $-\text{CH}_2\text{CH}_2\text{CH}_2\text{OCH}_3$ , and  $\text{C}_{1-5}$  alkyl with an attached phenyl and a hydroxyl attached to the  $\text{C}_{1-5}$  alkyl.

8. (Original) The compound of claim 1 wherein epoxide carbons 2 and 3 have stereochemistry selected from the group consisting of *cis*; *trans*; *R,R*; *S,S*; *R,S*; and *S,R*.

9. (Original) The composition of claim 1, wherein said composition is substantially optically pure.

10. (Currently Amended) The composition of claim 1, ~~wherein~~ wherein said composition is racemic.

11. (Original) The composition of claim 9, wherein said composition substantially comprises a single optical isomer.

12. (Original) A compound selected from the group consisting of:

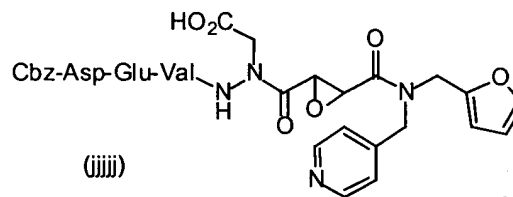
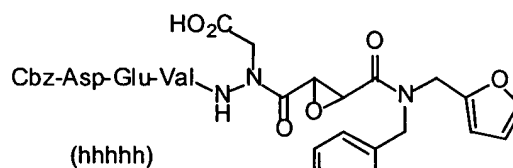
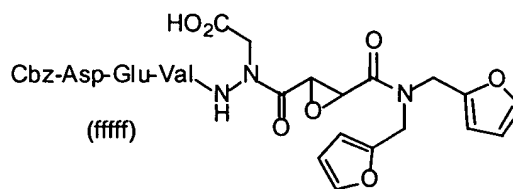
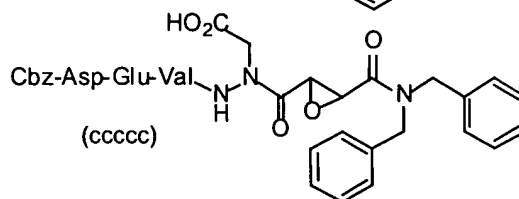
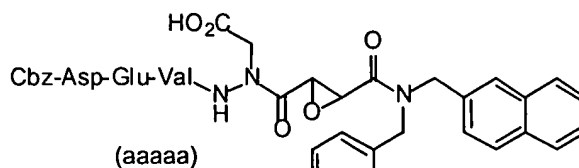
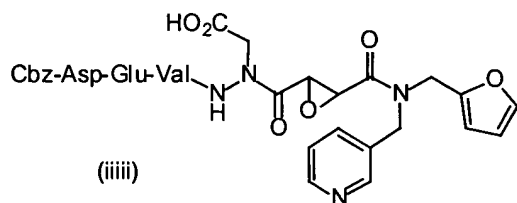
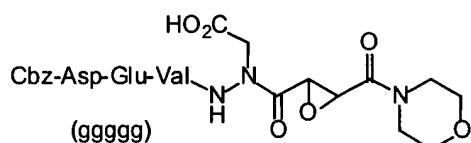
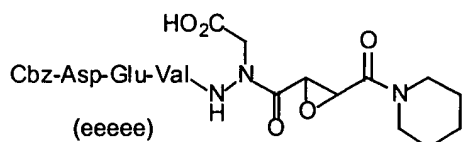
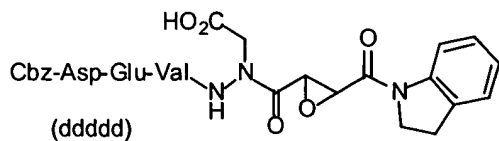
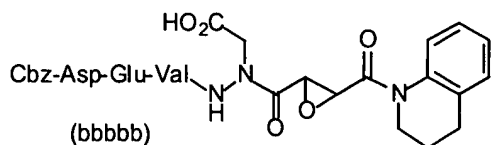
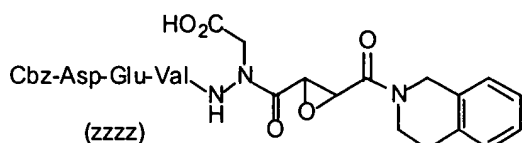
- (a) APhe-(*trans*)-EP-COOEt,
- (b) Cbz-APhe-(*trans*)-EP-COOEt,
- (c) Cbz-APhe-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (d) Cbz-ALeu-(*trans*)-EP-COOEt,
- (e) Cbz-AHph-(*trans*)-EP-COOEt,
- (f) Ac-AHph-(*trans*)-EP-COOEt,
- (g) Boc-Nva-AHph-(*trans*)-EP-COOEt,
- (h) Boc-Nle-AHph-(*trans*)-EP-COOEt,
- (i) Boc-Nle-AHph-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (j) Boc-Nva-AHph-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (k) Boc-Abu-AHph-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (l) Boc-Ala-AHph-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (m) Boc-Np2-ALeu-(*trans*)-EP-COOEt,
- (n) Suc-Np2-ALeu-(*trans*)-EP-COOEt,
- (o) Ac-Leu-ALeu-(*trans*)-EP-COOEt,
- (p) Ac-Leu-AHph-(*trans*)-EP-COOEt,
- (q) Nva-AHph-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph·TFA,
- (r) Nle-AHph-(*trans*)-EP-COOEt·TFA,
- (s) Ala-AHph-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph·TFA,
- (t) Cbz-Leu-ALeu-(2*S*,3*S*)-EP-COOEt,
- (u) Cbz-Leu-ALeu-(2*R*,3*R*)-EP-COOEt,
- (v) Cbz-Leu-ALeu-(*trans*)-EP-COOEt,
- (w) Cbz-Leu-ALeu-(*cis*)-EP-COOEt,

- (x) Cbz-Phe-ALeu-(*trans*)-EP-COOEt,
- (y) Cbz-Phe-ALeu-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (z) Cbz-Phe-APhe-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (aa) Cbz-Leu-AAbu-(*trans*)-EP-COOEt,
- (bb) Cbz-Leu-AAbu-(*trans*)-EP-COOH,
- (cc) Cbz-Leu-AHph-(*cis*)-EP-COOEt,
- (dd) Cbz-Leu-AHph-(2*S*,3*S*)-EP-COOEt,
- (ee) Cbz-Leu-AHph-(2*R*,3*R*)-EP-COOEt,
- (ff) Cbz-Leu-AHph-(2*S*,3*S*)-EP-COOH,
- (gg) Cbz-Leu-Leu-ALeu-(*trans*)-EP-COOEt,
- (hh) Cbz-Leu-Leu-ALeu-(2*S*,3*S*)-EP-COOEt,
- (ii) Cbz-Leu-Leu-ALeu-(2*R*,3*R*)-EP-COOEt,
- (jj) Cbz-Leu-Leu-ALeu-(2*S*,3*S*)-EP-COOH,
- (kk) Cbz-Leu-Phe-AGln-(2*S*,3*S*)-EP-COOEt,
- (ll) Cbz-Leu-Phe-AGln-(2*R*,3*R*)-EP-COOEt,
- (mm) Cbz-Leu-Phe-AGln-(*trans*)-EP-COOEt,
- (nn) Cbz-Ala-Ala-AAsn-(*trans*)-EP-COOEt,
- (oo) Cbz-Ala-Ala-AAsn-(2*S*,3*S*)-EP-COOEt,
- (pp) Cbz-Ala-Ala-AAsn-(2*R*,3*R*)-EP-COOEt,
- (qq) Cbz-Ala-Ala-AAsn-(*cis*)-EP-COOEt,
- (rr) Cbz-Ala-Ala-AAsn-(*trans*)-EP-COOCH<sub>2</sub>Ph,
- (ss) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-COOCH<sub>2</sub>Ph,
- (tt) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-COOCH<sub>2</sub>CH<sub>2</sub>Ph,

- (uu) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-CONHCH<sub>2</sub>Ph,
- (vv) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-CONHCH<sub>2</sub>CH<sub>2</sub>Ph,
- (ww) Cbz-Ala-Ala-AAsn-(*R,R*)-EP-CO-Ala-NH-Bzl,
- (xx) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-CON(*n*Bu)<sub>2</sub>,
- (yy) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-CON(CH<sub>3</sub>)CH<sub>2</sub>Ph,
- (zz) Cbz-Ala-Ala-AAsn-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (aaa) Cbz-Ala-Ala-AAsn-(*trans*)-EP-Ph-4-Cl,
- (bbb) Cbz-Ala-Ala-NHN(CH<sub>2</sub>COOEt)-(*trans*)-EP-COOEt,
- (ccc) PhPr-Val-Ala-AAsp-(*2R,3R*)-EP-COOCH<sub>2</sub>Ph,
- (ddd) PhPr-Val-Ala-AAsp-(*2S,3S*)-EP-COOCH<sub>2</sub>Ph,
- (eee) PhPr-Val-Ala-AAsp-(*trans*)-EP-COOCH<sub>2</sub>Ph,
- (fff) PhPr-Val-Ala-AAsp-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (ggg) Cbz-Ile-Glu-Thr-AAsp-(*2S,3S*)-EP-COOEt,
- (hhh) Cbz-Ile-Glu-Thr-AAsp-(*2R,3R*)-EP-COOEt,
- (iii) Cbz-Leu-Glu-Thr-AAsp-(*2S,3S*)-EP-COOEt,
- (jjj) Cbz-Leu-Glu-Thr-AAsp-(*2R,3R*)-EP-COOEt,
- (kkk) Cbz-Asp-Glu-Val-AAsp-(*2S,3S*)-EP-COOEt,
- (lll) Cbz-Asp-Glu-Val-AAsp-(*2R,3R*)-EP-COOEt,
- (mmm) Cbz-Glu-Val-AAsp-(*2S,3S*)-EP-COOEt,
- (nnn) PhPr-Val-Ala-AAsp-(*2S,3S*)-EP-CON(CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>,
- (ooo) PhPr-Val-Ala-AAsp-(*2S,3S*)-EP-CON(CH<sub>2</sub>Ph)<sub>2</sub>,
- (ppp) Cbz-Leu-Glu-Thr-AAsp-(*2S,3S*)-EP-CON(CH<sub>2</sub>Ph)<sub>2</sub>,
- (qqq) Cbz-Ile-Glu-Thr-AAsp-(*2S,3S*)-EP-CON(CH<sub>2</sub>Ph)<sub>2</sub>,

- (rrr) Cbz-Leu-Glu-Thr-AAsp-(2*S*,3*S*)-EP-CON(CH<sub>3</sub>)CH<sub>2</sub>Ph,
- (sss) PhPr-Val-Ala-AAsp-(2*S*,3*S*)-EP-CON(CH<sub>3</sub>)CH<sub>2</sub>Ph,
- (ttt) Cbz-Ile-Glu-Thr-AAsp-(2*S*,3*S*)-EP-CON(CH<sub>3</sub>)CH<sub>2</sub>Ph,
- (uuu) PhPr-Leu-ALys-(2*S*,3*S*)-EP-CO<sub>2</sub>Et,
- (vvv) PhPr-Leu-AOrn-(2*S*,3*S*)-EP-CO<sub>2</sub>Et,
- (www) Cbz-Val-AAsp-(*S*,*S*)-EP-COOEt,
- (xxx) Cbz-Val-AAsp-(*S*,*S*)-EP-COOH,
- (yyy) Cbz-Val-AAsp-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (zzz) Cbz-Val-AAsp-(*trans*)-EP-Ph-4-Cl,
- (aaaa) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-COOEt,
- (bbbb) PhPr-Val-Ala-AAsp-(*R*,*R*)-EP-COOEt,
- (cccc) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-COOCH<sub>2</sub>CH<sub>2</sub>Ph,
- (dddd) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-CONHCH<sub>2</sub>CH<sub>3</sub>,
- (eeee) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-CONHCH<sub>2</sub>Ph,
- (ffff) PhPr-Val-Ala-AAsp-(*R*,*R*)-EP-CONHCH<sub>2</sub>Ph,
- (gggg) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-CONHCH<sub>2</sub>CH<sub>2</sub>Ph,
- (hhhh) PhPr-Val-Ala-AAsp-(*R*,*R*)-EP-CONHCH<sub>2</sub>CH<sub>2</sub>Ph,
- (iiii) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-CONHCH<sub>2</sub>CH(OH)Ph,
- (jjjj) PhPr-Val-Ala-AAsp-(*R*,*R*)-EP-CONHCH<sub>2</sub>CH(OH)Ph,
- (kkkk) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-CO-Ala-NHCH<sub>2</sub>Ph,
- (llll) PhPr-Val-Ala-AAsp-(*R*,*R*)-EP-CO-Ala-NHCH<sub>2</sub>Ph,
- (mmmm) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-CO-Leu-NH<sub>2</sub>,
- (nnnn) PhPr-Val-Ala-AAsp-(*R*,*R*)-EP-CO-Leu-NH<sub>2</sub>,

- (oooo) PhPr-Val-Ala-AAsp-(*S,S*)-EP-CO-Phe-NH<sub>2</sub>,
- (pppp) PhPr-Val-Ala-AAsp-(*R,R*)-EP-CO-Phe-NH<sub>2</sub>,
- (qqqq) PhPr-Val-Ala-AAsp-(*S,S*)-EP-CO-Tyr-NH<sub>2</sub>,
- (rrrr) Cbz-Glu-Val-AAsp-(*R,R*)-EP-CO-Phe-NH<sub>2</sub>,
- (ssss) Cbz-Glu-Val-AAsp-(*S,S*)-EP-CONHCH<sub>2</sub>CH<sub>2</sub>Ph,
- (tttt) Cbz-Asp-Glu-Val-AAsp-(*S,S*)-EP-CO-Phe-NH<sub>2</sub>,
- (uuuu) Cbz-Asp-Glu-Val-AAsp-(*S,S*)-EP-CONHCH<sub>2</sub>Ph,
- (vvvv) Cbz-Asp-Glu-Val-AAsp-(*S,S*)-EP-COOCH<sub>2</sub>Ph,
- (wwwv) Cbz-Leu-Glu-Thr-AAsp-(*S,S*)-EP-CONHCH<sub>2</sub>CH<sub>2</sub>Ph,
- (xxxx) Cbz-Leu-Glu-Thr-AAsp-(*S,S*)-EP-CO-Ala-NHCH<sub>2</sub>Ph,
- (yyyy) Cbz-Ile-Glu-Thr-AAsp-(*S,S*)-EP-CO-Ala-NHCH<sub>2</sub>Ph,



(kkkkk) Cbz-Leu-Glu-Thr-AAsp-(*S,S*)-EP-COOCH<sub>2</sub>Ph,

(lllll) Cbz-Ile-Glu-Thr-AAsp-(*S,S*)-EP-COOCH<sub>2</sub>Ph,

(mmmmm) Cbz-Ile-Glu-Thr-AAsp-(*R,R*)-EP-COOCH<sub>2</sub>Ph,

(nnnnn) Cbz-Ile-Glu-Thr-AAsp-(*R,R*)-EP-CONHCH<sub>2</sub>Ph, and a pharmaceutically acceptable salt, pharmaceutically acceptable derivative, or combination thereof.

13. (Original) A compound having the chemical formula of Cbz-Asp-Glu-Val-AAsp-EP-COOCH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>.

14. (Currently Amended) A compound ~~according~~ having the chemical formula Cbz-Ala-Ala-AA<sub>sn</sub>-EP-COOEt.
15. (Original) A pharmaceutical composition, comprising an effective amount of a compound of claim 1 and a pharmaceutically acceptable carrier.
16. (Original) A method of inhibiting a cysteine protease comprising the step of contacting said cysteine protease with a compound according to claim 1.
17. (Original) The method of claim 16 wherein said contacting occurs *in vivo*.
18. (Original) The method of claim 16 wherein said contacting occurs *in vitro*.
19. (Original) The method according to claim 16 wherein said cysteine protease comprises a caspase.
20. (Original) The method according to claim 16 wherein said cysteine protease comprises legumain.
21. (Original) The method according to claim 16 wherein said cysteine protease comprises a member of the clan CD of cysteine proteases.
22. (Original) The method according to claim 16 wherein said cysteine protease comprises a member of the clan CA of cysteine proteases.
23. (Original) A method of preparing a compound comprising of the step of coupling an epoxide with a substituted hydrazide.
24. (Original) The method of claim 23 wherein said epoxide is an epoxysuccinate.
25. (Original) The method of claim 23 wherein said epoxide is an oxirane carboxylic acid.
26. (Original) The method of claim 23 wherein said coupling comprises the step of reacting the epoxide, substituted hydrazide, EDC, and HOBt.
27. (Original) The method of claim 23 wherein said coupling comprises the step of:

reacting the epoxide, substituted hydrazide, NMM, and IBCF.

28. (Currently Amended) A compound comprising an aza-amino acid and an epoxide, wherein said aza-amino acid does not have the formula:



wherein, R1 is a quinolinyl and R2 is H.

29. (Currently Amended) ~~The compound of claim 28~~ A compound comprising an aza-amino acid and an epoxide, wherein the compound comprises P1 and P2 residues.

30. (Currently Amended) The compound of claim ~~28~~ 29, wherein the P1 or P2 residue comprises an aza-amino acid residue.

31. (Original) The compound of claim 29, wherein the P1 residue comprises an aza-amino acid residue having a basic functional group.

32. (Original) The compound of claim 29, wherein the P2 residue comprises an amino acid residue having a hydrophobic functional group.

33. (Original) The compound of claim 29, wherein the P2 residue comprises an amino acid residue having a hydrophobic alkyl functional group.

34. (Currently Amended) ~~The compound of claim 28~~ A compound comprising an aza-amino acid and an epoxide, wherein said epoxide is coupled to said aza-amino acid.

35. (Original) The compound of claim 29 containing an anionic side chain at said P1 site.

36. (Original) The compound of claim 29 containing an aza-aspartic acid at the P1 site.

37. (Original) The compound of claim 29 containing an aza-asparagine at said P1 site.
38. (Currently Amended) ~~The compound of claim 28~~ A compound comprising an aza-amino acid and an epoxide, wherein said compound specifically inhibits cysteine proteases selected from the group consisting of clan CD and clan CA cysteine proteases.
39. (Original).The compound of claim 38, wherein said compound inhibits clan CD and clan CA cysteine proteases.
40. (Original) A neuroprotective composition comprising an aza-peptide epoxide.
41. (Original) A method of treating a neurodegenerative disorder comprising:  
administering an effective amount of an aza-peptide epoxide to a patient having symptoms of a neurodegenerative disorder.
42. (Original) The method of claim 41, wherein said aza-peptide epoxide inhibits a protease.
43. (Original) The method of claim 42, wherein said protease comprises a cysteine protease.
44. (Original) The method of claim 41, wherein said neurodegenerative disorder is selected from the group consisting of stroke, Alzheimer's disease, Parkinson's disease, multiple sclerosis, neuropathies, Huntington's disease, dentatorubropallidoluysian atrophy, spinocerebellar atrophy type 3, spinal bulbar muscular atrophy, and myotrophic lateral sclerosis.
45. (Original) A method of modulating a host's immune system comprising administering to said host a composition comprising an aza-peptide epoxide in an amount sufficient to inhibit cleavage of an antigen in the host and reduce antigen peptides displayed on cell surfaces.
46. (Original) The method of claim 45, wherein said host is a mammal.
47. (Original) A method for treating inflammatory disease in a host comprising:  
administering to said host a composition comprising an aza-peptide epoxide in an amount sufficient to inhibit a cysteine protease.

48. (Original) The compound of claim 28, wherein the compound comprises P1, P2 and P3 residues.

49. (Original) The compound of claim 28, wherein the compound comprises P1, P2, P3, and P4 residues.

50. (Original) The compound of claim 28, wherein the compound comprises P1, P2, P3, P4, and P1' residues.